Examiner: Habte, Kahsay

## Amendment Pursuant to 37 C.F.R. § 1.121

### IN THE CLAIMS:

The claims set forth below with amendments as indicated will replace all prior versions and listing of claims in the application.

# 1. (currently amended) A compound of the general formula (I)

$$R_{2}$$
 $R_{3}$ 
 $R_{3}$ 
 $R_{3}$ 
 $R_{4}$ 
 $R_{1}$ 
 $R_{1}$ 
 $R_{2}$ 
 $R_{3}$ 
 $R_{3}$ 
 $R_{4}$ 

in which

X represents a hydrogen or halogen atom,

 $R_1$  represents a hydrogen atom or a  $(C_1-C_4)$ alkyl group,

 $R_2$  and  $R_3$  each independently of one another represent a hydrogen atom or a  $(C_1\text{-}C_4)$ alkyl group, or else  $R_2$  and  $R_3$ , together with the nitrogen atom bearing them, form a pyrrolidinyl, piperidinyl, morpholinyl or  $4\text{-}(C_1\text{-}C_4)$ alkylpiperazinyl group, and Het represents a heteroaromatic group of pyridinyl, quinolinyl, isoquinolinyl, pyrimidinyl, pyrazinyl or pyridazinyl type which may carry one or more halogen atoms and/or one or more  $(C_1\text{-}C_4)$ alkyl and/or  $(C_1\text{-}C_4)$ alkoxy groups,

in the form of the base or an addition salt with acids, or in the hydrate or solvate form.

- 2. (**previously presented**) The compound according to claim 1 wherein X represents a halogen atom.
- 3. (previously presented) The compound according to claim 1 wherein  $R_1$  represents a

Examiner: Habte, Kahsay

 $(C_1-C_4)$ alkyl.

- 4. (**previously presented**) The compound according to claim 1 wherein  $R_2$  and  $R_3$ , each independently of one another, represent a  $(C_1-C_4)$ alkyl group or else  $R_2$  and  $R_3$ , together with the nitrogen atom bearing them, form a pyrrolidinyl or  $4-(C_1-C_4)$ alkylpiperazinyl group.
- 5. (**previously presented**) The compound according to claim 1 wherein Het represents a heteroaromatic group of pyridinyl type which may carry one or more halogen atoms and/or one or more  $(C_1-C_4)$ alkyl and/or  $(C_1-C_4)$ alkoxy groups.
- 6. (previously presented) The compound according to claim 1 wherein X represents a chlorine atom and  $R_1$  represents a methyl group.
- 7. (currently amended) A process for preparing a compound of general formula (I),

$$R_{3}$$
 (I)

in which

X represents a hydrogen or halogen atom,

R<sub>1</sub> represents a hydrogen atom or a (C<sub>1</sub>-C<sub>4</sub>)alkyl group,

 $R_2$  and  $R_3$  each independently of one another represent a hydrogen atom or a  $(C_1-C_4)$ alkyl group, or else  $R_2$  and  $R_3$ , together with the nitrogen atom bearing them, form a pyrrolidinyl, piperidinyl, morpholinyl or  $4-(C_1-C_4)$ alkylpiperazinyl group, and Het represents a heteroaromatic group of pyridinyl, quinolinyl, isoquinolinyl, pyrimidinyl,

pyrazinyl or pyridazinyl type which may carry one or more halogen atoms and/or one or more  $(C_1-C_4)$ alkyl and/or  $(C_1-C_4)$ alkoxy groups, wherein the compound of general formula (IV),

$$X \xrightarrow{\text{COOR'}} N \xrightarrow{R_2}_{R_3} (IV)$$

in which

X, R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are as defined above,

R' represents a (C<sub>1</sub>-C<sub>4</sub>)alkyl group,

is reacted, in a polar solvent in the presence of an acid, with a heteroarylhydrazine.

8. **(currently amended)** The process according to claim 7 wherein the compound of general formula (IV),

$$X \xrightarrow{O} N \xrightarrow{R_2}_{R_3} (IV)$$

in which

X, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R' are as defined above in claim 7, is prepared by reacting a compound of general formula (III),

$$X$$
 $COOR'$ 
 $COOR'$ 
 $COOR'$ 

in which

X, R<sub>1</sub> and R' are as defined above,

R" represents a (C<sub>1</sub>-C<sub>4</sub>)alkyl group,

with an amine of general formula  $HNR_2R_3$ , in which  $R_2$  and  $R_3$  are as defined above in claim 7, in the presence of a catalyst, such as 4-(dimethylamino)pyridine.

# 9. (currently amended) A process for preparing a compound of general formula (I),

$$R_{2}$$
 $R_{3}$ 
 $R_{3}$ 
 $R_{3}$ 
 $R_{4}$ 
 $R_{5}$ 
 $R_{1}$ 
 $R_{2}$ 
 $R_{3}$ 
 $R_{3}$ 
 $R_{4}$ 
 $R_{5}$ 
 $R_{5}$ 
 $R_{5}$ 
 $R_{5}$ 
 $R_{5}$ 
 $R_{7}$ 
 $R_{1}$ 

in which

X represents a hydrogen or halogen atom,

 $R_1$  represents a hydrogen atom or a  $(C_1\text{-}C_4)$ alkyl group,

 $R_2$  and  $R_3$  each independently of one another represent a hydrogen atom or a  $(C_1-C_4)$ alkyl group, or else  $R_2$  and  $R_3$ , together with the nitrogen atom bearing them, form a pyrrolidinyl, piperidinyl, morpholinyl or 4- $(C_1-C_4)$ alkylpiperazinyl group, and Het represents a heteroaromatic group of pyridinyl, quinolinyl, isoquinolinyl, pyrimidinyl, pyrazinyl or pyridazinyl type which may carry one or more halogen atoms and/or one or more  $(C_1-C_4)$ alkyl and/or  $(C_1-C_4)$ alkoxy groups, comprising the step consisting in

carrying out an N-heteroarylation reaction on a compound of general formula (V),

in which

X,  $R_1$ ,  $R_2$  and  $R_3$  are as defined above,

in the presence of a heteroaryl halide, or else of a heteroarylboronic acid derivative and of a metal salt such as a copper salt.

10. (currently amended) The process according to claim 9 wherein compound of general formula (V),

in which

 $X,\,R_1,\,R_2\, and\,\,R_3$  are as defined above in claim 9,

is prepared by reacting a compound of general formula (IV),

$$X \xrightarrow{O} N \xrightarrow{R_2}_{R_3} (IV)$$

in which

 $X, R_1, R_2, R_3$  are as defined above in claim 9,

R' represents a (C<sub>1</sub>-C<sub>4</sub>)alkyl group,

with hydrazine by heating in a solvent such as toluene in the presence of a catalytic amount of acid.

#### 11. - 12. (canceled)

# 13. (currently amended) A compound of the general formula (V)

in which

X represents a hydrogen or halogen atom,

 $R_1$  represents a hydrogen atom or a  $(C_1\text{-}C_4)$ alkyl group,

 $R_2$  and  $R_3$ , each independently of one another, represent a hydrogen atom or a ( $C_1$ - $C_4$ )alkyl group, or else  $R_2$  and  $R_3$ , together with the nitrogen atom bearing them, form a pyrrolidinyl, piperidinyl, morpholinyl or 4-( $C_1$ - $C_4$ )alkylpiperazinyl group.

Examiner: Habte, Kahsay

14. (cancelled)

15. (currently amended) A pharmaceutical composition comprising at least one

compound of formula (I) according to claim 1 or a pharmaceutically acceptable salt, a

hydrate or a solvate of this compound, optionally combined with at least one

pharmaceutically acceptable excipient.

16. (original) The compound according to claim 2 wherein  $R_1$  represents a  $(C_1-C_4)$ alkyl.

17. (original) The compound according to claim 2 wherein  $R_2$  and  $R_3$ , each

independently of one another, represent a (C<sub>1</sub>-C<sub>4</sub>)alkyl group or else R<sub>2</sub> and R<sub>3</sub>, together

with the nitrogen atom bearing them, form a pyrrolidinyl or  $4-(C_1-C_4)$  alkylpiperazinyl

group.

18. (original) The compound according to claim 3 wherein R<sub>2</sub> and R<sub>3</sub>, each

independently of one another, represent a (C<sub>1</sub>-C<sub>4</sub>)alkyl group or else R<sub>2</sub> and R<sub>3</sub>, together

with the nitrogen atom bearing them, form a pyrrolidinyl or  $4-(C_1-C_4)$  alkylpiperazinyl

group.

19. (original) The compound according to claim 2 wherein Het represents a

heteroaromatic group of pyridinyl type which may carry one or more halogen atoms

and/or one or more  $(C_1-C_4)$ alkyl and/or  $(C_1-C_4)$ alkoxy groups.

20. (original) The compound according to claim 3 wherein Het represents a

heteroaromatic group of pyridinyl type which may carry one or more halogen atoms

and/or one or more  $(C_1-C_4)$ alkyl and/or  $(C_1-C_4)$ alkoxy groups.

21. (original) The compound according to claim 4 wherein Het represents a

heteroaromatic group of pyridinyl type which may carry one or more halogen atoms

Examiner: Habte, Kahsay

and/or one or more (C<sub>1</sub>-C<sub>4</sub>)alkyl and/or (C<sub>1</sub>-C<sub>4</sub>)alkoxy groups.

22. (original) The compound according to claim 2 wherein X represents a chlorine atom and  $R_1$  represents a methyl group.

23. (original) The compound according to claim 3 wherein X represents a chlorine atom and  $R_1$  represents a methyl group.

24. (original) The compound according to claim 4 wherein X represents a chlorine atom and  $R_1$  represents a methyl group.

25. (original) The compound according to claim 5 wherein X represents a chlorine atom and  $R_1$  represents a methyl group.

26. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 2 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

- 27. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 3 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 28. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 4 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

Examiner: Habte, Kahsay

29. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 5 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

- 30. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 6 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 31. **(currently amended)** A pharmaceutical composition comprising at least one compound of formula (I) according to claim 16 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 32. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 17 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 33. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 18 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 34. (**currently amended**) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 19 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

Examiner: Habte, Kahsay

35. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 20 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

- 36. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 21 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 37. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 22 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 38. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 23 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 39. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 24 or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.
- 40. (currently amended) A pharmaceutical composition comprising at least one compound of formula (I) according to claim 25 or a pharmaceutically acceptable salt, a

Examiner: Habte, Kahsay

hydrate or a solvate of this compound, optionally combined with at least one pharmaceutically acceptable excipient.

41. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 1.

- 42. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 2.
- 43. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 3.
- 44. (currently amended) A method for treating <u>a disease in a patient, said disease</u> selected from the group consisting of peripheral neuropathy; spinal amyotrophy;

Examiner: Habte, Kahsay

amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis;

Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 4.

- 45. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 5.
- 46. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 6.
- 47. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 16.

Examiner: Habte, Kahsay

48. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 17.

- 49. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 18.
- 50. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 19.
- 51. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which

Application Ser. No.: 10/509,695

Filing Date: September 30, 2004 Examiner: Habte, Kahsay

peripheral benzodiazepine receptors are involved which comprises administering to a said

patient in need of such treatment an effective amount of a compound according to claim

20.

52. (currently amended) A method for treating a disease in a patient, said disease

selected from the group consisting of peripheral neuropathy; spinal amyotrophy;

amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis;

Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which

peripheral benzodiazepine receptors are involved which comprises administering to a said

patient in need of such treatment an effective amount of a compound according to claim

21.

53. (currently amended) A method for treating a disease in a patient, said disease

selected from the group consisting of peripheral neuropathy; spinal amyotrophy;

amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis;

Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which

peripheral benzodiazepine receptors are involved which comprises administering to a said

patient in need of such treatment an effective amount of a compound according to claim

22.

54. (currently amended) A method for treating a disease in a patient, said disease

selected from the group consisting of peripheral neuropathy; spinal amyotrophy;

amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis;

Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which

peripheral benzodiazepine receptors are involved which comprises administering to a said

patient in need of such treatment an effective amount of a compound according to claim

23.

SSL0065 US PCT

-15 of 19-

Examiner: Habte, Kahsay

55. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 24.

56. (currently amended) A method for treating a disease in a patient, said disease selected from the group consisting of peripheral neuropathy; spinal amyotrophy; amyotrophic lateral sclerosis; cranial and medullar trauma; multiple sclerosis; Alzheimer's disease; Parkinson's disease; and diabetic nephropathy pathologies in which peripheral benzodiazepine receptors are involved which comprises administering to a said patient in need of such treatment an effective amount of a compound according to claim 25.